

in which

R_1 is C_1 - C_{30} alkyl, C_2 - C_{18} alkenyl, C_2 - C_{18} alkynyl, C_3 - C_8 cycloalkyl, C_3 - C_8 cycloalkenyl, C_3 - C_8 -cycloalkynyl or phenyl (a), said alkyl, cycloalkyl, cycloalkenyl and cycloalkynyl being unsubstituted or substituted by hydroxy, C_1 - C_4 -alkoxy, acyloxy, amino, mono- C_1 - C_4 alkylamino, di- C_1 - C_4 alkylamino, acylamino, mercapto, C_1 - C_4 alkylthio, halogen, C_1 - C_4 alkylcarbonyl, carboxyl, nitro, cyano, formyl, sulfo, a heterocyclic radical derived from a hexose or pentose, attached to the alkyl moiety directly via a ring atom or via an -O-, -S- or -NH-bridge, naphthyl or phenyl (b); said acyl being derived from an aliphatic carboxylic acid having from 1 to 7 C-atoms, a phenyl carboxylic acid, unsubstituted or substituted by carboxy, hydroxy, halogen, C_1 to C_4 alkyl, C_1 to C_4 alkoxy, nitro or amino, or a 5- or -membered heterocyclic carboxylic acid containing from 1 to 3 hetero-atoms each of which is N, O or S, unsubstituted or substituted by C_1 to C_4 alkyl, chlorine, bromine or amino; said phenyl (a) being unsubstituted or substituted by C_1 to C_{10} alkyl, C_1 to C_{10} chloroalkyl, C_1 to C_{10} nitroalkyl, C_1 to C_{10} cyanoalkyl, C_1 to C_{10} alkenyl, hydroxyl, C_1 to C_4 alkoxy, amino, mono- C_1 to C_4 alkylamino, di- C_1 - C_4 alkylamino, mercapto, C_1 - C_4 alkylthio, carboxyl, C_1 - C_4 carbalkoxy, sulfo, C_1 - C_4 alkylsulfonyl, phenylsulfonyl, aminosulfonyl, C_1 - C_4 alkylaminosulfonyl, di- C_1 - C_4 alkylaminosulfonyl, nitro, cyano, formyl, C_1 - C_4 alkylcarbonyl-amino, C_1 - C_4 alkylcarbonyl, benzoyl, benzylcarbonyl or

phenylacetylcarbonyl;

said naphthyl and phenyl (b) being unsubstituted or substituted

by hydroxyl, amino, C₁-C₄ alkylamino, di-C₁-C₄ alkylamino, C₁-C₄alkoxy, nitro, cyano, carboxy, C₁-C₄ alkoxycarbonyl, C₁-C₆ alkyl, halogen, C₁-C₄ alkylthio, mercapto, C₁-C₄ alkylsulfonyl, sulfur, aminosulfonyl or C₁-C₄ alkyl-aminosulfonyl

⁵⁴⁴²¹⁵
R₂ is -H, -OH, -SO₃H, -CN, -CH₂NH₂, -CH₂NH-C(=O)-C₁ to C₁₄-alkyl, -CH₂NH-C(=O)-C₁ to C₁₄-alkyl, -CH₂NH-SO₂-C₁ to C₁₄-alkyl

-CH₂-NH-SO₂-phenyl, -CH₂-NH-C(=O)-phenyl, -CH₂-NH-C(=O)-NH-C₁ to C₁₄-alkyl,

-CH₂-NH-C(=O)-NH-phenyl, -CH₂-NH-C(=O)-NH-C₁ to C₁₄-alkyl,

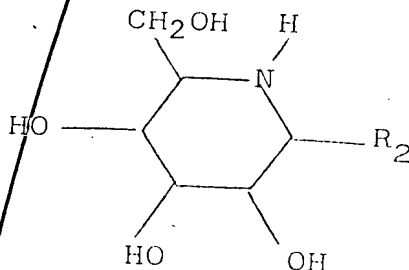
-CH₂-NH-C(=O)-NH-phenyl, -CH₂-NH-C(=O)-O-C₁ to C₁₄-alkyl or

-CH₂-NH-C(=O)-O-phenyl wherein phenyl is unsubstituted or substituted

by methyl, ethyl, methoxy, ethyl, methoxy, chlorine, bromine or nitro,

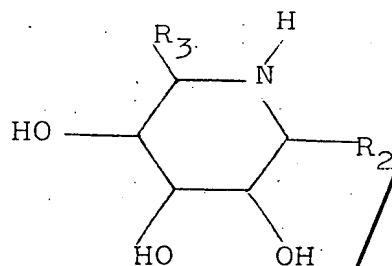
R₃ is -H, -CH₃, -CH₂OH, -CH₂NH₂, NHR'-CH₂-, NR'R"-CH₂-, R'CONH-CH₂-, R'CO-NR"CH₂-, R'O-CH₂-, R'COOCH₂-, R'SO₂NHCH₂-, R'SO₂-NR"CH₂-, R'NH-CO-NH-CH₂-, R'NHCS-NH-CH₂-, R'O-CO-NH-CH₂-, wherein R' and R" are the same or different and each has the meaning hydrogen or any of the meanings given above for R₁.

48. A compound of the formula



wherein
 R_2 is $-\text{CN}$, $-\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{NH}-[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$,
 $-\text{CH}_2\text{NH}-\text{C}(\text{O})-[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$, $-\text{CH}_2\text{NH}-\text{SO}_2-[\text{C}_1 \text{ to } \text{C}_{14}]\text{-alkyl}$
 $-\text{CH}_2\text{NH}-\text{SO}_2\text{-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-NH}[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$,
 $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-NH-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{S})\text{-NH}[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$, $-\text{CH}_2\text{-}$
 $\text{NH}-\text{C}(\text{S})\text{-NH-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-O}-[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$ or $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-O-phenyl}$
 wherein phenyl is unsubstituted or substituted by methyl, ethyl,
 methoxy, ethyl, methoxy, chlorine, bromin or nitro.

49. A compound of the formula



in which

R_2 is H, $-\text{SO}_3\text{H}$, $-\text{CN}$, $-\text{CH}_2\text{NH}_2$, $-\text{CH}_2\text{NH}-[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$,
 $-\text{CH}_2\text{NH}-\text{C}(\text{O})-[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$, $-\text{CH}_2\text{NH}-\text{SO}_2-[\text{C}_1 \text{ to } \text{C}_{14}]\text{-alkyl}$
 $-\text{CH}_2\text{NH}-\text{SO}_2\text{-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-NH}[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$,
 $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-NH-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{S})\text{-NH}[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$, $-\text{CH}_2\text{-}$
 $\text{NH}-\text{C}(\text{S})\text{-NH-phenyl}$, $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-O}-[\text{C}_1 \text{ to } \text{C}_{14}\text{-alkyl}]$ or $-\text{CH}_2\text{NH}-\text{C}(\text{O})\text{-O-phenyl}$
 wherein phenyl is unsubstituted or substituted by methyl, ethyl,
 methoxy, ethyl, methoxy, chlorine, bromin or nitro and R_3 is
 $\text{CH}_2\text{-NH}_2$, $-\text{CH}_2\text{-NHR}'$, $-\text{CH}_2\text{-NR}''\text{R}''$, $-\text{CH}_2\text{-NHCOR}'$, $-\text{CH}_2\text{-NR}''\text{-COR}'$,
 $-\text{CH}_2\text{-OR}'$, $-\text{CH}_2\text{-OCOR}'$, $-\text{CH}_2\text{-NHSO}_2\text{R}'$, $-\text{CH}_2\text{-NR}''\text{-SO}_2\text{R}'$, $-\text{CH}_2\text{-NHCONH}_2$,
 $-\text{CH}_2\text{-NHCONHR}'$, $-\text{CH}_2\text{-NHCSNH}_2$, $-\text{CH}_2\text{-NHCSNHR}'$, $-\text{CH}_2\text{-NH-COOR}'$
 wherein R' and R'' are the same or different and each is

1 C₁-C₃₀ alkyl, C₂-C₁₈ alkenyl, C₂-C₁₈ alkynyl, C₃-C₈ cyclo-
2 alkyl, C₃-C₈ cycloalkenyl, C₃-C₈-cycloalkynyl or phenyl (a),
3 said alkyl, cycloalkyl, cycloalkenyl and cycloalkynyl being
4 unsubstituted or substituted by hydroxy, C₁-C₄-alkoxy, acyloxy,
5 amino, mono- C₁-C₄alkylamino, di-C₁-C₄ alkylamino, acylamino.
6 mercapto, C₁-C₄ alkylthio, halogen, C₁-C₄ alkylcarbonyl, carboxyl,
7 nitro, cyano, formyl, sulfo, a heterocyclic radical derived from
8 a hexose or pentose, attached to the alkyl moiety directly via a
9 ring atom or via an -O-, -S- or -NH-bridge, naphthyl or phenyl (b)
10 said acyl being derived from an aliphatic carboxylic acid
11 having from 1 to 7 C-atoms, a phenyl carboxylic acid, unsubstituted
12 or substituted by carboxy, hydroxy, halogen, C₁ to C₄ alkyl.
13 C₁ to C₄ alkoxy, nitro or amino, or a 5- or 6-membered heterocyclic
14 carboxylic acid containing from 1 to 3 hetero-atoms each of which
15 is N, O or S, unsubstituted or substituted by C₁ to C₄ alkyl,
16 chlorine, bromine or amino;
17 said phenyl (a) being unsubstituted or substituted by C₁ to C₁₀
18 alkyl, C₁ to C₁₀ chloroalkyl, C₁ to C₁₀ nitroalkyl, C₁ to C₁₀
19 cyanoalkyl, C₁ to C₁₀ alkenyl, hydroxyl, C₁ to C₄ alkoxy, amino
20 mono-C₁ to C₄ alkylamino, di-C₁-C₄alkylamino, mercapto, C₁-C₄
21 alkylthio, carboxyl, C₁-C₄ carbalkoxy, sulfo, C₁-C₄ alkylsulfonyl,
22 phenylsulfonyl, aminosulfonyl, C₁-C₄ alkylaminosulfonyl, di-C₁-C₄
23 alkylaminosulfonyl, nitro, cyano, formyl, C₁-C₄ alkylcarbonylamino
24 C₁-C₄ alkylcarbonyl, benzoyl, benzylcarbonyl or phenylacyl-
25 carbonyl;
26 said naphthyl and phenyl (b) being unsubstituted or substituted
27 by hydroxyl, amino, C₁-C₄ alkylamino, di-C₁-C₄ alkylamino,
28 C₁-C₄alkoxy, nitro, cyano, carboxy, C₁-C₄ alkoxycarbonyl,
29 C₁-C₆ alkyl, halogen, C₁-C₄ alkylthio, mercapto,
30 C₁-C₄ alkylsulfonyl, sulfur, aminosulfonyl or C₁-C₄ alkyl-
aminosulfonyl.

Claims ^{NE} 5, 7-16, 18, line 1 of each; claims 24 and 25
line 3 of each, in each delete "1" and substitute --47--.

1 Claim 18, lines 1-2, delete "other than said bioprecursors".

2
3 Claims 27 and 33, line 2 of each and claim 29,
4 line 4 in each, delete "1" and substitute --47--.

5
6 Claim 29, line 2, change "hypereipaemia" to
7 --hyperlipaemia--, line 4, delete "1" and substitute --47--.

8
9 Claims 34 and 35, line 3 of each, claims 36 and 39,
10 line 2 of each and claim 38, line 4, in each delete
11 "17" and substitute --18--.

12
13 Claim 45, line 2 and claim 46, line 1, in each
14 delete "1" and substitute --47--.

15
16 *NE* Claim 24 (Once Amended) A pharmaceutical composition
17 for the treatment of diabetes, hyperlipaemia or adiposity
18 containing as an active ingredient an effective amount of a
19 compound according to claim 47 [1] in admixture with a solid
20 or liquefied gaseous diluent or in admixture with a liquid
21 diluent other than a solvent of a molecular weight less
22 than 200 except in the presence of a surface-active
23 agent.

1 Claim 27^{NE} (Once Amended) A medicament in dosage
2 unit form comprising an effective amount for the treatment
3 of diabetes, hyperlipaemia or adiposity of a compound
4 according to claim 47 [1] and an inert pharmaceutical
5 carrier.

6
7 Claim 34^{NE} (Once Amended) A pharmaceutical composition
8 containing as an active ingredient an effective amount
9 for the treatment of diabetes, hyperlipaemia or adiposity
10 of a compound according to claim [17] 18 in admixture
11 with a solid or liquefied gaseous diluent or in admixture
12 with a liquid diluent other than a solvent of a molecular
13 weight less than 200 except in the presence of a surface-
14 active agent.

15
16 Claim 35^{NE} (Once Amended) A pharmaceutical composition
17 containing as an active ingredient an effective amount
18 for the treatment of diabetes, hyperlipaemia or adiposity
19 of a compound according to claim [17] 18 in the form of
20 a sterile or physiologically isotonic aqueous solution.

21
22 Claim 36^{NE} (Once Amended) A medicament in dosage unit
23 form comprising an effective amount for the treatment of
24 diabetes, hyperlipaemia or adiposity of a compound according
25 to claim [17] 18 and an inert pharmaceutical carrier.

1 Claim 40 (Once Amended) A pharmaceutical composition
2 containing as an active ingredient an effective amount
3 for the treatment of diabetes, hyperlipaemia or adiposity
4 of a compound according to claim 18 in admixture with a solid
5 or liquefied gaseous diluent or in admixture with a liquid
6 diluent other than a solvent of a molecular weight less
7 than 200 except in the presence of a surface-active agent.

8
9 Claim 41 (Once Amended) A pharmaceutical composition
10 containing as an active ingredient an effective amount for
11 the treatment of diabetes, hyperlipaemia or adiposity
12 of a compound according to claim 18 in the form of a sterile
13 or physiologically isotonic aqueous solution.

14
15 CANCELLATION OF CLAIMS:

16
17 Cancel claims 17 and 19-23, without prejudice.
18
19
20

21 Fee

22 Please charge any insufficiency of fees or credit
23 any excess to our Deposit Account No. 19-3869.
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